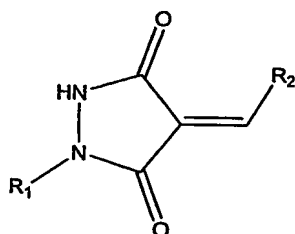


Claims

1. The use of pyrazolidinedione derivatives of the general
5 formula



(I)

wherein

- 10 R₁ is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl or alkanoyl; and
R₂ is aryl or heteroaryl;
tautomers thereof;
geometric isomers thereof and tautomers of these geometric
15 isomers, including mixtures of individual compounds of formula (I), or tautomers thereof, and their geometric isomers, or tautomers thereof;
pharmaceutically acceptable acid addition salts of compounds which are basic;
20 pharmaceutically acceptable salts of compounds containing acidic groups with bases;
pharmaceutically acceptable esters of compounds containing hydroxy or carboxy groups;
prodrugs of compounds in which a prodrug forming group is
25 present; as well as hydrates or solvates thereof;
as platelet adenosine diphosphate receptor antagonists for the prevention and/or treatment of peripheral vascular, of visceral-, hepatic- and renal-vascular, of cardiovascular and of cerebrovascular diseases or conditions associated with platelet
30 aggregation and, respectively, for the manufacture of corresponding medicaments.

2. The use according to claim 1 wherein R_1 is other than alkanoyl.

3. The use according to claim 1 or 2 wherein the disease or condition is thrombosis.

4. The use according to claim 1 or 3 wherein R_1 is hydrogen, alkyl, aryl, heteroaryl or alkanoyl.

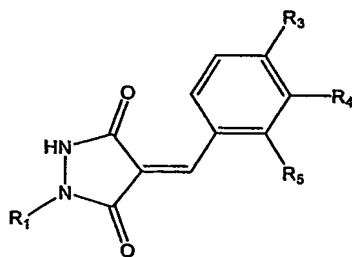
5. The use according to claim 4 wherein R_1 is hydrogen, alkyl, phenyl, bromophenyl, chlorophenyl, fluorophenyl, methylphenyl, methoxyphenyl, cyanophenyl, alkoxy carbonylphenyl, pyridinyl or alkanoyl.

6. The use according to claim 5 wherein R_1 is hydrogen, methyl, phenyl, 2-pyridinyl, 4-pyridinyl, 2-methylphenyl, 4-methylphenyl, 4-methoxyphenyl, 2-chlorophenyl, 4-fluorophenyl, 4-bromophenyl, 4-cyanophenyl, 4-ethoxycarbonylphenyl or acetyl.

7. The use according to any one of claims 1 to 6 wherein R_2 is naphthalenyl, thienyl or pyridyl.

8. The use according to claim 7 wherein R_2 is naphthalen-2-yl, pyridin-3-yl or thiophen-3-yl.

9. The use according to any one of claims 1 to 3 of compounds of the general formula



(III)

including their geometric isomers and tautomers and mixtures thereof as well as their salts, esters and prodrugs mentioned in claim 1, wherein

R_1 is as defined in any one of claims 1, 2 and 4 to 6;

5 R_3 is hydrogen, alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy, cycloalkylalkoxy or alkylsulfonyloxy;

R_4 is hydrogen, halogen, hydroxy, alkyl or alkoxy; and

10 R_5 is hydrogen, halogen, hydroxy, alkyl, alkoxy, alkoxyalkoxy, hydroxyalkoxy, dihydroxyalkoxy, alkanoyloxyalkoxy, carboxyalkoxy, carboxy-hydroxyalkoxy, carboxy-dihydroxyalkoxy, alkoxy-carbonylalkoxy, alkoxy-carbonyl-hydroxyalkoxy, alkoxy-carbonyl-dihydroxyalkoxy, carbamoylalkoxy, *N*-alkylcarbamoylalkoxy, *N,N*-dialkylaminolalkoxy, morpholin-4-ylalkoxy, piperidin-1-ylalkoxy, morpholin-4-ylcarbonylalkoxy, 2,2-dialkyl[1,3]dioxolan-4-ylalkoxy or 2,2-dialkyl-4-carboxy[1,3]dioxolan-5-ylalkoxy; or

15 R_4 und R_5 , together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or
20 heterocyclic ring system.

10. The use according to claim 9 wherein R_1 is other than alkanoyl;

25 R_3 is hydrogen, alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy or cycloalkylalkoxy; and R_4 and R_5 , each independently of the other, are hydrogen, halogen, hydroxy, alkyl or alkoxy; or

30 R_4 und R_5 , together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or heterocyclic ring system.

11. The use according to claim 9 or 10 wherein R_3 is alkyl, alkenyl, alkynyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, hydroxyalkoxy or alkoxyalkoxy and R_4 and R_5 both are hydrogen, or
35 R_4 is halogen, alkyl or alkoxy and R_5 is hydrogen, or R_4 and R_5 each independently are alkyl or alkoxy.

12. The use according to claim 11 wherein R_3 is methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *tert*-butyl, pentyl, hexyl, but-1-enyl, pent-1-enyl, but-1-ynyl, pent-1-ynyl, methoxy, ethoxy, propoxy, butoxy, *iso*-butoxy, 3-methyl-butoxy, pentyloxy, cyclopentyloxy, hexyloxy, cyclopropylmethoxy, cyclobutylmethoxy, 2-hydroxy-ethoxy, 2-methoxy-ethoxy, and R_4 and R_5 both are hydrogen or R_4 is chloro, bromo, methyl or methoxy and R_5 is hydrogen, or R_4 and R_5 each independently are methyl or methoxy.

13. The use according to claim 9 or 10 wherein R_3 is hydrogen or alkoxy and R_4 and R_5 together with the phenyl ring to which they are attached, form an optionally substituted naphthalene, tetrahydronaphthalene, indane, 1*H*-indene, isoquinoline, dihydro-benzo[1,4]dioxine or benzo[1,3]dioxole moiety.

14. The use according to claim 13 wherein R_3 is propoxy and R_4 and R_5 together with the phenyl ring to which they are attached, form a naphthalene-1-yl, indan-4-yl, isoquinolin-5-yl, isoquinolin-8-yl, 1,2,3,4-tetrahydroisoquinolin-8-yl, 2-alkoxycarbonyl-1,2,3,4-tetrahydroisoquinolin-8-yl or 5,6,7,8-tetrahydronaphthalen-1-yl moiety.

15. The use according to claim 9 or 10 wherein R_3 , R_4 and R_5 each are hydrogen; or R_3 and R_5 each are hydrogen and R_4 is methoxy; or R_3 and R_4 each are hydrogen and R_5 is methoxy; or R_4 and R_5 each are hydrogen and R_3 is *tert*-butyl, ethoxy, propoxy or butoxy; or R_3 is hydrogen, R_4 is methoxy, and R_5 is hydroxy; or R_4 is hydrogen, R_3 is methoxy or propoxy and R_5 is methoxy or propoxy; or R_5 is hydrogen, R_3 is methoxy, ethoxy, propoxy, butyloxy, *iso*-butyloxy, pentyloxy, hexyloxy, 3-methylbutoxy, 2-hydroxyethoxy, 2-methoxyethoxy, cyclopropylmethoxy or cyclobutylmethoxy and R_4 is methyl, methoxy, chloro or bromo.

16. The use according to claim 9 or 10 wherein
R₃ is methoxy, propoxy, cyclopentyloxy, pent-1-ynyl or
ethanesulfonyloxy;
- 5 R₄ is methyl;
R₅ is hydroxy, methyl, pentyl, methoxy, propoxy, 2-methoxyethoxy,
2-hydroxyethoxy, 3-hydroxypropoxy, 4-hydroxybutoxy, 2,3-
dihydroxypropoxy, 4-acetoxybutoxy, carboxymethoxy, 3-
carboxypropoxy, 4-carboxybutoxy, 3-carboxy-2-hydroxypropoxy, 3-
10 carboxy-2,3-dihydroxypropoxy, ethoxycarbonylmethoxy, 3-
ethoxycarbonylpropoxy, 4-ethoxycarbonylbutoxy, 3-ethoxycarbonyl-
2-hydroxypropoxy, 3-ethoxycarbonyl-2,3-dihydroxypropoxy,
carbamoylmethoxy 3-N-ethylcarbamoylpropoxy, 4-N-
ethylcarbamoylbutoxy, 2-N,N-dimethylaminoethoxy, 3-N,N-
15 dmethylaminopropoxy, 2-(morpholin-4-yl)-ethoxy, 2-(piperidin-1-
yl)-ethoxy, 3-(morpholin-4-yl)-carbonylpropoxy, 4-(morpholin-4-
yl)-carbonylbutoxy, 2,2-dimethyl[1,3]dioxolan-4-ylmethoxy or 2,2-
dimethyl-4-carboxy[1,3]dioxolan-5-ylmethoxy; or
R₄ and R₅, together with the phenyl ring to which they are
20 attached, form a naphthalen-1-yl, 5,6,7,8-tetrahydronaphthalen-1-
yl, indan-4-yl, 1,2,3,4,-tetraisoquinolin-8-yl or 2-tert-
butoxycarbonyl-1,2,3,4,-tetraisoquinolin-8-yl moiety.
17. The use according to claim 1 or 3 of
- 25 4-(2,3-dimethyl-4-propoxy-benzylidene)-1-phenyl-pyrazolidine-3,5-
dione;
4-(4-methoxy-3-methyl-benzylidene)-1-phenyl-pyrazolidine-3,5-
dione;
4-(4-ethoxy-benzylidene)-1-phenyl-pyrazolidine-3,5-dione;
30 4-(4-ethoxy-3-methoxy-benzylidene)-1-phenyl-pyrazolidine-3,5-
dione;
4-(2,4-dimethoxy-benzylidene)-1-phenyl-pyrazolidine-3,5-dione;
4-naphthalen-2-ylmethylene-1-phenyl-pyrazolidine-3,5-dione;
4-(4-tert-butyl-benzylidene)-1-phenyl-pyrazolidine-3,5-dione;
35 4-(2,3-dimethyl-4-methoxybenzylidene)-1-phenyl-pyrazolidine-3,5-
dione;

- 4- (2,4-dimethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- (3-bromo-4-methoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
5 1-phenyl-4- (4-propoxy-benzylidene) -pyrazolidine-3,5-dione;
4- (4-butoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- (4-ethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- (3-methyl-4-propoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
10 4- (4-butoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- (4-hexyloxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
15 4- (3-methyl-4-pentyloxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- (4-cyclobutylmethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- [3-methyl-4- (3-methyl-butoxy) -benzylidene] -1-phenyl-pyrazolidine-3,5-dione;
20 4- (4-isobutoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- [4- (2-methoxy-ethoxy) -3-methyl-benzylidene] -1-phenyl-pyrazolidine-3,5-dione;
25 4- (3-chloro-4-propoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- [4- (2-hydroxy-ethoxy) -3-methyl-benzylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- (4-cyclopropylmethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
30 4- (4-cyclopentyloxy-2,3-dimethyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
1-phenyl-4- (4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-ylmethylene) -pyrazolidine-3,5-dione;
35 4- (2,3-dimethyl-4-pent-1-ynyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;

- 4- (2,3-dimethyl-4-pentyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
1-phenyl-4- (4-propoxy-naphthalen-1-ylmethylene) -pyrazolidine-3,5-dione;
5 1-phenyl-4- [1- (7-propoxy-indan-4-yl) -methylidene] -pyrazolidine-3,5-dione;
1-phenyl-4- [1- (5-propoxy-isoquinolin-8-yl) -methylidene] -pyrazolidine-3,5-dione;
1-phenyl-4- [1- (8-propoxy-isoquinolin-5-yl) -methylidene] -
10 pyrazolidine-3,5-dione;
4- [1- (2-tert-butoxycarbonyl-5-propoxy-1,2,3,4-tetrahydro-isoquinolin-8-yl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-ethanesulfonyloxy-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
15 4- [1- (2,4-dipropoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- (2,6-dipropoxy-pyridin-3-yl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- (2-hydroxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
20 4- [1- (2-methoxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- (3-methyl-2,4-dipropoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
25 4- [1- [2- (2-methoxy-ethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (2-hydroxy-ethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (3-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
30 4- [1- [2- (4-acetoxy-butoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-hydroxy-butoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
35 4- [1- [2- (ethoxycarbonyl-methoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;

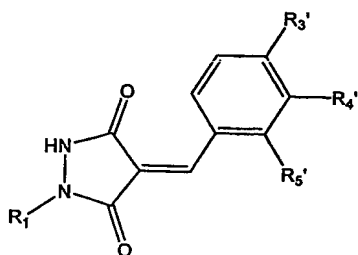
- 4- [1- [2- (carboxy-methoxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (2-amino-2-oxo-ethyloxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
5 4- [1- [2- (3-ethoxycarbonyl-propoxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (3-carboxy-propoxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-ethylamino-4-oxo-butoxy) -3-methyl-4-propoxy-phenyl] -
10 methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [3-methyl-2- (4-morpholin-4-yl-4-oxo-butoxy) -4-propoxy-
phenyl] -methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-ethoxycarbonyl-butoxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
15 4- [1- [2- (4-carboxy-butyloxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (5-ethylamino-5-oxo-pentyloxy) -3-methyl-4-propoxy-
phenyl] -methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [3-methyl-2- (5-morpholin-4-yl-5-oxo-pentyloxy) -4-propoxy-
20 phenyl] -methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (2-dimethylamino-ethoxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
4- [1- [3-methyl-2- (2-morpholin-4-yl-ethoxy) -4-propoxy-phenyl] -
25 methylenidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
4- [1- [3-methyl-2- (2-piperidin-1-yl-ethoxy) -4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
30 4- [1- [2- (3-dimethylamino-propoxy) -3-methyl-4-propoxy-phenyl] -
methylenidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
4- [1- [2- (2,2-dimethyl- [1,3] dioxolan-4-ylmethoxy) -3-methyl-4-
propoxy-phenyl] -methylenidene] -1-phenyl-pyrazolidine-3,5-dione;
35 4- [1- [2- ((4R)-2,2-dimethyl- [1,3] dioxolan-4-ylmethoxy) -3-methyl-4-
propoxy-phenyl] -methylenidene] -1-phenyl-pyrazolidine-3,5-dione;

- 4- [1- [2- ((4*S*)-2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- ((2*R*)-3-ethoxycarbonyl-2-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
5 4- [1- [2- ((2*R*)-3-carboxy-2-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- ((2*S*)-3-carboxy-2-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- ((4*R*,5*S*)-4-carboxy-2,2-dimethyl-[1,3]dioxolan-5-ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
10 1-phenyl-4- [1- (5-propoxy-1,2,3,4-tetrahydro-isoquinolin-8-yl) -methylidene] -pyrazolidine-3,5-dione and its formiate salt;
4- [1- [2- (2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
15 4- [1- [2- ((2*S*)-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- ((2*R*)-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
20 4- [1- [2- ((2*S*,3*R*)-2,3-dihydroxy-3-ethoxycarbonyl-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- ((2*S*,3*R*)-3-carboxy-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
25 ethyl 4- (4-benzylidene-3,5-dioxo-pyrazolidin-1-yl) -benzoate;
ethyl 4- [4- (2-hydroxy-3-methoxy-benzylidene) -3,5-dioxo-pyrazolidin-1-yl] -benzoate;
ethyl 4- [4- (2-methoxy-benzylidene) -3,5-dioxo-pyrazolidin-1-yl] -benzoate;
30 ethyl 4- [4- (3-methoxy-benzylidene) -3,5-dioxo-pyrazolidin-1-yl] -benzoate;
ethyl 4- (3,5-dioxo-4-pyridin-3-ylmethylenepyrazolidin-1-yl) -benzoate;
ethyl 4- (3,5-dioxo-4-thiophen-3-ylmethylenepyrazolidin-1-yl) -benzoate;
35

- ethyl 4-[4-(2,3-dimethyl-4-propoxy-benzylidene)-3,5-dioxo-pyrazolidin-1-yl]-benzoate;
4-(3-methyl-4-propoxy-benzylidene)-1-pyridin-2-yl-pyrazolidine-3,5-dione;
5 4-(2,3-dimethyl-4-propoxy-benzylidene)-1-pyridin-2-yl-pyrazolidine-3,5-dione;
1-(4-bromo-phenyl)-4-(2,3-dimethyl-4-propoxy-benzylidene)-pyrazolidine-3,5-dione;
4-(2,3-dimethyl-4-propoxy-benzylidene)-1-(4-methoxy-phenyl)-
10 pyrazolidine-3,5-dione;
4-[4-(2,3-dimethyl-4-propoxy-benzylidene)-3,5-dioxo-pyrazolidin-1-yl]-benzonitrile;
4-(2,3-dimethyl-4-propoxy-benzylidene)-1-(4-fluoro-phenyl)-pyrazolidine-3,5-dione;
15 4-(2,3-dimethyl-4-propoxy-benzylidene)-1-(4-methyl-phenyl)-pyrazolidine-3,5-dione;
1-(2-chloro-phenyl)-4-(2,3-dimethyl-4-propoxy-benzylidene)-pyrazolidine-3,5-dione;
4-(2,3-dimethyl-4-propoxy-benzylidene)-1-(2-methyl-phenyl)-
20 pyrazolidine-3,5-dione;
4-(2,3-dimethyl-4-propoxy-benzylidene)-pyrazolidine-3,5-dione;
4-(4-cyclopentyloxy-2,3-dimethyl-benzylidene)-pyrazolidine-3,5-dione;
4-(4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-ylmethylene)-
25 pyrazolidine-3,5-dione;
4-(2,3-dimethyl-4-pent-1-ynyl-benzylidene)-pyrazolidine-3,5-dione;
4-(2,3-dimethyl-4-pentyl-benzylidene)-pyrazolidine-3,5-dione;
4-(4-propoxy-naphthalen-1-ylmethylene)-pyrazolidine-3,5-dione;
30 4-(7-propoxy-indan-4-ylmethylene)-pyrazolidine-3,5-dione;
4-(2-methoxy-3-methyl-4-propoxy-benzylidene)-pyrazolidine-3,5-dione;
4-(3-methyl-2,4-dipropoxy-benzylidene)-pyrazolidine-3,5-dione;
4-(2,3-dimethyl-4-propoxy-benzylidene)-1-methyl-pyrazolidine-3,5-
35 dione;
4-(2,3-dimethyl-4-propoxy-benzylidene)-1-pyridin-4-yl-pyrazolidine-3,5-dione;

1-acetyl-4-[1-(2,3-dimethyl-4-propoxy-phenyl)-methyldene]-pyrazolidine-3,5-dione.

18. Compounds of the general formula



(III')

including their geometric isomers and tautomers and mixtures thereof as well as their salts, esters and prodrugs mentioned in claim 1, wherein

R₁ is as defined in any one of claims 1, 2 and 4 to 6;

R₃' is alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy, cycloalkylalkoxy or alkylsulfonyloxy;

R₄' is halogen, hydroxy, alkyl or alkoxy; and

R₅' is halogen, hydroxy, alkyl, alkoxy, alkoxyalkoxy, hydroxyalkoxy, dihydroxyalkoxy, alkanoyloxyalkoxy, carboxyalkoxy, carboxy-hydroxyalkoxy, carboxy-dihydroxyalkoxy, alkoxycarbonylalkoxy, alkoxycarbonyl-hydroxyalkoxy, alkoxycarbonyl-dihydroxyalkoxy, carbamoylalkoxy, N-alkylcarbamoylalkoxy, N,N-dialkylaminolalkoxy, morpholin-4-ylalkoxy, piperidin-1-ylalkoxy, morpholin-4-ylcarbonylalkoxy, 2,2-dialkyl[1,3]dioxolan-4-ylalkoxy or 2,2-dialkyl-4-carboxy[1,3]dioxolan-5-ylalkoxy; or

R₄' and R₅', together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or heterocyclic ring system;

with the proviso that if R₁ is phenyl and R₃' is methoxy, R₄' and R₅' may not both be methoxy.

19. compounds according to claim 18 wherein R_1 is other than alkanoyl;

R_3' is alkyl, alkenyl, alkynyl, alkoxy, hydroxyalkoxy, alkoxyalkoxy, alkenyloxy, cycloalkoxy or cycloalkylalkoxy; and
5 R_4' and R_5' , each independently of the other, are halogen, hydroxy, alkyl or alkoxy; or

R_4' und R_5' , together with the phenyl ring to which they are attached, form a fused, optionally substituted carbocyclic or heterocyclic ring system.

10 20. Compounds according to claim 18 or 19 wherein R_3' is alkyl, alkenyl, alkynyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, hydroxyalkoxy or alkoxyalkoxy, R_4' is halogen, alkyl or alkoxy and R_5' is alkyl or alkoxy.

15 21. Compounds according to claim 20 wherein R_3' is methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *tert*-butyl, pentyl, hexyl, but-1-enyl, pent-1-enyl, but-1-ynyl, pent-1-ynyl, methoxy, ethoxy, propoxy, butoxy, *iso*-butoxy, 3-methyl-butoxy, pentyloxy, cyclopentyloxy, hexyloxy, cyclopropylmethoxy, cyclobutylmethoxy, 2-hydroxy-ethoxy, 2-methoxy-ethoxy, R_4' is chloro, bromo, methyl or methoxy, and R_5' is methyl or methoxy.

20 22. Compounds according to claim 18 or 19 wherein R_3' is alkoxy and R_4' and R_5' together with the phenyl ring to which they are attached, form an optionally substituted naphthalene, tetrahydronaphthalene, indane, 1*H*-indene, isoquinoline, dihydro-
benzo[1,4]dioxine or benzo[1,3]dioxole ring system.

30 23. Compounds according to claim 22 wherein R_3' is propoxy and R_4' and R_5' together with the phenyl ring to which they are attached, form a naphthalene-1-yl, indan-4-yl, isoquinolin-5-yl, isoquinolin-8-yl, 1,2,3,4-tetrahydroisoquinoli-8-yl, 2-alkoxycarbonyl-1,2,3,4-tetrahydroisoquinoli-8-yl or 5,6,7,8-
35 tetrahydronaphthalen-1-yl moiety.

24. Compounds according to claim 18 or 19 wherein
R₃' is methoxy, propoxy, cyclopentyloxy, pent-1-ynyl or
ethanesulfonyloxy;
R₄' is methyl;
5 R₅' is hydroxy, methyl, pentyl, methoxy, propoxy, 2-
methoxyethoxy, 2-hydroxyethoxy, 3-hydroxypropoxy, 4-
hydroxybutoxy, 2,3-dihydroxypropoxy, 4-acetoxybutoxy,
carboxymethoxy, 3-carboxypropoxy, 4-carboxybutoxy, 3-carboxy-2-
hydroxypropoxy, 3-carboxy-2,3-dihydroxypropoxy,
10 ethoxycarbonylmethoxy, 3-ethoxycarbonylpropoxy, 4-
ethoxycarbonylbutoxy, 3-ethoxycarbonyl-2-hydroxypropoxy, 3-
ethoxycarbonyl-2,3-dihydroxypropoxy, carbamoylmethoxy 3-*N*-
ethylcarbamoylpropoxy, 4-*N*-ethylcarbamoylbutoxy, 2-*N,N*-
dimethylaminoethoxy, 3-*N,N*-dimethylaminopropoxy, 2-(morpholin-4-
15 yl)-ethoxy, 2-(piperidin-1-yl)-ethoxy, 3-(morpholin-4-yl)-
carbonylpropoxy, 4-(morpholin-4-yl)-carbonylbutoxy, 2,2-
dimethyl [1,3]dioxolan-4-ylmethoxy or 2,2-dimethyl-4-
carboxy [1,3]dioxolan-5-ylmethoxy; or
R₄' and R₅', together with the phenyl ring to which they are
20 attached, form a naphthalen-1-yl, 5,6,7,8-tetrahydronaphthalen-1-
yl, indan-4-yl, 1,2,3,4,-tetraisoquinolin-8-yl or 2-*tert*-
butoxycarbonyl-1,2,3,4,-tetraisoquinolin-8-yl moiety.

25. A compound selected from
25 4-[1-[2-((2*S*)-3-carboxy-2-hydroxy-propoxy)-3-methyl-4-propoxy-
phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
4-[1-[2-((2*R*)-3-carboxy-2-hydroxy-propoxy)-3-methyl-4-propoxy-
phenyl]-methylidene]-1-phenyl-pyrazolidine-3,5-dione;
4-[1-[2-(3-carboxy-propoxy)-3-methyl-4-propoxy-phenyl]-
30 methylidene]-1-phenyl-pyrazolidine-3,5-dione;
4-[1-[2-(4-carboxy-butyloxy)-3-methyl-4-propoxy-phenyl]-
methylidene]-1-phenyl-pyrazolidine-3,5-dione;
4-[1-[2-(2,3-dihydroxy-propoxy)-3-methyl-4-propoxy-phenyl]-
methylidene]-1-phenyl-pyrazolidine-3,5-dione;

- 4- [1- [2- ((2*S*)-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- ((2*R*)-2,3-dihydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
5 4- [1- [2- ((2*S*,3*R*)-3-carboxy-2,3-dihydroxy-propoxy) -3-methyl-4-
propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (5-ethylamino-5-oxo-pentyloxy) -3-methyl-4-propoxy-
phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (3-hydroxy-propoxy) -3-methyl-4-propoxy-phenyl] -
10 methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-hydroxy-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (2-hydroxy-ethoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
15 4- [1- [2- ((2*S*,3*R*)-2,3-dihydroxy-3-ethoxycarbonyl-propoxy) -3-
methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-
dione;
4- [1- [2- (ethoxycarbonyl-methoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione; and
20 4- [1- [3-methyl-2- (4-morpholin-4-yl-4-oxo-butoxy) -4-propoxy-
phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione.

26. A compound selected from
1-phenyl-4- [1- (5-propoxy-isoquinolin-8-yl) -methylidene] -
25 pyrazolidine-3,5-dione;
4- [1- [2- (4-ethylamino-4-oxo-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-ethoxycarbonyl-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
30 4- [1- [2- ((2*R*)-3-ethoxycarbonyl-2-hydroxy-propoxy) -3-methyl-4-
propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (4-acetoxy-butoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (3-ethoxycarbonyl-propoxy) -3-methyl-4-propoxy-phenyl] -
35 methylidene] -1-phenyl-pyrazolidine-3,5-dione;

- 4- [1- [2- (2-methoxy-ethoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [3-methyl-2- (5-morpholin-4-yl-5-oxo-pentyloxy) -4-propoxy-
phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
5 1-phenyl-4- [1- (8-propoxy-isoquinolin-5-yl) -methylidene] -
pyrazolidine-3,5-dione;
4- [1- [2- (2-amino-2-oxo-ethyloxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [3-methyl-2- (2-morpholin-4-yl-ethoxy) -4-propoxy-phenyl] -
10 methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
4- [1- [2- (2,2-dimethyl- [1,3] dioxolan-4-ylmethoxy) -3-methyl-4-
propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1-phenyl-pyrazolidine-3,5-
15 dione;
4- [1- [2- ((4R,5S) -4-carboxy-2,2-dimethyl- [1,3] dioxolan-5-
ylmethoxy) -3-methyl-4-propoxy-phenyl] -methylidene] -1-phenyl-
pyrazolidine-3,5-dione;
4- [1- [2- ((4R) -2,2-dimethyl- [1,3] dioxolan-4-ylmethoxy) -3-methyl-4-
20 propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- [1- [2- (3-dimethylamino-propoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
1-phenyl-4- [1- (7-propoxy-indan-4-yl) -methylidene] -pyrazolidine-
25 3,5-dione;
1-phenyl-4- (4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-
ylmethylen) -pyrazolidine-3,5-dione;
1-phenyl-4- [1- (5-propoxy-1,2,3,4-tetrahydro-isoquinolin-8-yl) -
methylidene] -pyrazolidine-3,5-dione and its formate salt;
30 4- [1- [2- (2-dimethylamino-ethoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
4- [1- [2- (carboxy-methoxy) -3-methyl-4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione;
35 4- [1- [2- ((4S) -2,2-dimethyl- [1,3] dioxolan-4-ylmethoxy) -3-methyl-4-
propoxy-phenyl] -methylidene] -1-phenyl-pyrazolidine-3,5-dione;

- 4- (3-methyl-2,4-dipropoxy-benzylidene) -pyrazolidine-3,5-dione;
4- [1- (3-methyl-2,4-dipropoxy-phenyl) -methylidene] -1-phenyl-
pyrazolidine-3,5-dione;
4- (4-propoxy-5,6,7,8-tetrahydro-naphthalen-1-ylmethylene) -
5 pyrazolidine-3,5-dione;
4- [1- (2-methoxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-phenyl-
pyrazolidine-3,5-dione;
4- (2-methoxy-3-methyl-4-propoxy-benzylidene) -pyrazolidine-3,5-
dione;
10 4- (7-propoxy-indan-4-ylmethylene) -pyrazolidine-3,5-dione;
4- [1- (2-tert-butoxycarbonyl-5-propoxy-1,2,3,4-tetrahydro-
isoquinolin-8-yl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
and
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (4-fluoro-phenyl) -
15 pyrazolidine-3,5-dione.

27. A compound selected from
1-phenyl-4- (4-propoxy-naphthalen-1-ylmethylene) -pyrazolidine-3,5-
dione;
20 4- [1- [3-methyl-2- (2-piperidin-1-yl-ethoxy) -4-propoxy-phenyl] -
methylidene] -1-phenyl-pyrazolidine-3,5-dione and its
hydrochloride salt;
4- (2,4-dimethoxy-3-methyl-benzylidene) -1-phenyl-pyrazolidine-3,5-
dione;
25 4- (2,3-dimethyl-4-ethanesulfonyloxy-benzylidene) -1-phenyl-
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1-pyridin-2-yl-
pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -pyrazolidine-3,5-dione;
30 4- (2,3-dimethyl-4-methoxybenzylidene) -1-phenyl-pyrazolidine-3,5-
dione;
4- (2,3-dimethyl-4-pent-1-ynyl-benzylidene) -1-phenyl-pyrazolidine-
3,5-dione;
4- (4-propoxy-naphthalen-1-ylmethylene) -pyrazolidine-3,5-dione;
35 4- [4- (2,3-dimethyl-4-propoxy-benzylidene) -3,5-dioxo-pyrazolidin-
1-yl] -benzonitrile;

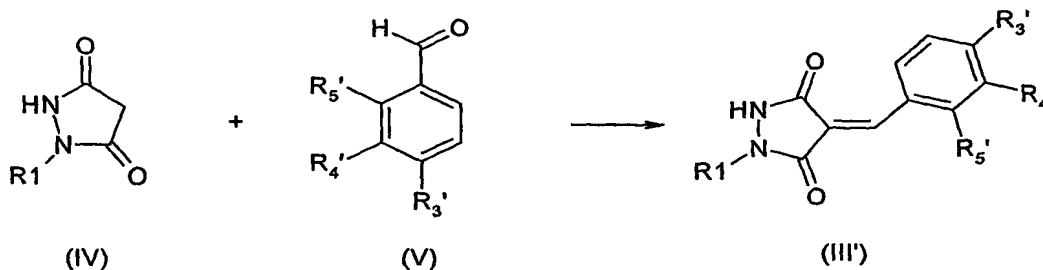
- 4- [1- (2-hydroxy-3-methyl-4-propoxy-phenyl) -methylidene] -1-phenyl-pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-pentyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
5 4- (2,3-dimethyl-4-pentyl-benzylidene) -pyrazolidine-3,5-dione;
1- (2-chloro-phenyl) -4- (2,3-dimethyl-4-propoxy-benzylidene) -pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-pent-1-ynyl-benzylidene) -pyrazolidine-3,5-dione;
10 4- (2,3-dimethyl-4-propoxy-benzylidene) -1-pyridin-4-yl-pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (4-methyl-phenyl) -pyrazolidine-3,5-dione;
4- (4-cyclopentyloxy-2,3-dimethyl-benzylidene) -pyrazolidine-3,5-dione;
15 4- (4-cyclopentyloxy-2,3-dimethyl-benzylidene) -1-phenyl-pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (4-methoxy-phenyl) -pyrazolidine-3,5-dione;
20 1- (4-bromo-phenyl) -4- (2,3-dimethyl-4-propoxy-benzylidene) -pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1- (2-methyl-phenyl) -pyrazolidine-3,5-dione;
4- (2,3-dimethyl-4-propoxy-benzylidene) -1-methyl-pyrazolidine-3,5-dione;
25 ethyl 4- [4- (2,3-dimethyl-4-propoxy-benzylidene) -3,5-dioxo-pyrazolidin-1-yl] -benzoate; and
1-acetyl-4- [1- (2,3-dimethyl-4-propoxy-phenyl) -methylidene] -pyrazolidine-3,5-dione.
30

28. Compounds according to any one of claims 18 to 27 for use as pharmaceutically active ingredients.

29. A pharmaceutical composition containing a compound
35 according to any one of claims 18 to 27 and a pharmaceutically inert carrier.

30. The use according to claim 1 or 3 of compounds according to any one of claims 18 to 23.

31. A process for the manufacture of compounds according to any one of claims 18 to 27 which comprises condensing a pyrazolidinedione of the general formula (IV), as shown in the following reaction scheme wherein R_1 , R_3 , R_4 , and R_5 , are as defined in claim 18,



10

with an aldehyde of the above general formula (V), any reactive group which may be present in the compounds of formulae IV and V being appropriately protected, and, if necessary, splitting off from the condensation product any protecting group(s) which may be undesired.

15

32. A pyrazolidinedione of the general formula (IV) as indicated in claim 31, selected from:

20

- 1-pyridin-2-yl-pyrazolidine-3,5-dione;
- 4-(3,5-dioxo-pyrazolidin-1-yl)-benzonitrile; and
- 1-pyridin-4-yl-pyrazolidine-3,5-dione.

33. An aldehyde of the general formula (V) as indicated in claim 31 selected from:

25

- 4-cyclopentyloxy-2,3-dimethyl-benzaldehyde;
- 4-propoxy-5,6,7,8-tetrahydro-naphthalene-1-carbaldehyde;
- 2,3-dimethyl-4-pent-1-ynyl-benzaldehyde;
- 2,3-dimethyl-4-pentyl-benzaldehyde;
- 7-propoxy-indan-4-carbaldehyde;

30

- 5-propoxy-isoquinoline-8-carbaldehyde;
8-propoxy-isoquinoline-5-carbaldehyde;
2-*tert*-butyloxycarbonyl-8-formyl-5-propoxy-1,2,3,4-tetrahydroisoquinoline;
5 2,3-dimethyl-4-ethanesulfonyloxybenzaldehyde;
2-hydroxy-3-methyl-4-propoxy-benzaldehyde;
2-methoxy-3-methyl-4-propoxy-benzaldehyde;
2-(2-methoxy-ethoxy)-3-methyl-4-propoxy-benzaldehyde;
2-(2-hydroxy-ethoxy)-3-methyl-4-propoxy-benzaldehyde;
10 2-(3-hydroxy-propoxy)-3-methyl-4-propoxy-benzaldehyde;
2-(4-acetoxy-butoxy)-3-methyl-4-propoxy-benzaldehyde;
2-(4-hydroxy-butoxy)-3-methyl-4-propoxy-benzaldehyde;
ethyl (6-formyl-2-methyl-3-propoxy-phenoxy)-acetate;
(6-formyl-2-methyl-3-propoxy-phenoxy)-acetic acid;
15 2-(6-formyl-2-methyl-3-propoxy-phenoxy)-acetamide;
ethyl 4-(6-formyl-2-methyl-3-propoxy-phenoxy)-butanoate;
4-(6-formyl-2-methyl-3-propoxy-phenoxy)-butanoic acid;
4-(6-formyl-2-methyl-3-propoxy-phenoxy)-butanoic acid ethylamide;
3-methyl-2-(4-morpholin-4-yl-4-oxo-butoxy)-4-propoxy-
20 benzaldehyde;
ethyl 5-(6-formyl-2-methyl-3-propoxy-phenoxy)-pentanoate;
5-(6-formyl-2-methyl-3-propoxy-phenoxy)-pentanoic acid;
5-(6-formyl-2-methyl-3-propoxy-phenoxy)-pentanoic acid
ethylamide;
25 3-methyl-2-(5-morpholin-4-yl-5-oxo-pentyloxy)-4-propoxy-
benzaldehyde;
2-(2-dimethylamino-ethoxy)-3-methyl-4-propoxy-benzaldehyde and
its hydrochloride salt;
3-methyl-2-(2-morpholin-4-yl-ethoxy)-4-propoxy-benzaldehyde and
30 its hydrochloride salt;
3-methyl-2-(2-piperidin-1-yl-ethoxy)-4-propoxy-benzaldehyde and
its hydrochloride salt;

- 2-(3-dimethylamino-propoxy)-3-methyl-4-propoxy-benzaldehyde and its hydrochloride salt;
- 2-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-3-methyl-4-propoxy-benzaldehyde;
- 5 2-((4*R*)-2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-3-methyl-4-propoxy-benzaldehyde;
- 2-((4*S*)-2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-3-methyl-4-propoxy-benzaldehyde;
- ethyl (3*R*)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-
- 10 butanoate;
- (3*R*)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-butanoic acid;
- ethyl (3*S*)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-butanoate;
- 15 (3*S*)-4-(6-formyl-2-methyl-3-propoxy-phenoxy)-3-hydroxy-butanoic acid;
- methyl (4*R*,5*S*)-5-(6-formyl-2-methyl-3-propoxy-phenoxy-methyl)-2,2-dimethyl-[1,3]dioxolane-4-carboxylate;
- (4*R*,5*S*)-5-(6-formyl-2-methyl-3-propoxy-phenoxy-methyl)-2,2-
- 20 dimethyl-[1,3]dioxolane-4-carboxylic acid.